Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	No connect hour charges in WPIFV until May 1, 2004
NEWS	12	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	13	APR 26	PROMT: New display field available
NEWS	14	APR 26	FIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	15	APR 26	LITALERT now available on STN
NEWS	16	APR 27	NLDB: New search and display fields available

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:44:18 ON 28 APR 2004

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:44:52 ON 28 APR 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6
DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

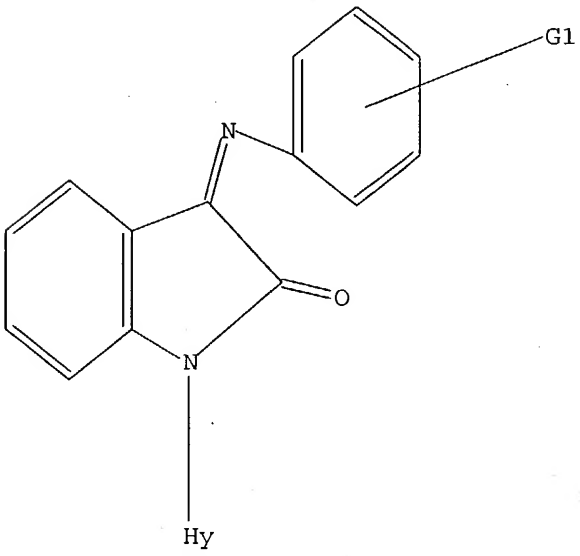
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10723961.1

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Ph,X,Ob,Ak,COOH

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss full
FULL SEARCH INITIATED 11:45:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  10861 TO ITERATE

100.0% PROCESSED   10861 ITERATIONS                          21 ANSWERS
SEARCH TIME: 00.00.01

L2           21 SEA SSS FUL L1

=> file marpat
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               155.42     155.63

FILE 'MARPAT' ENTERED AT 11:45:27 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17)(20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US    6709645 23 MAR 2004
DE   10335606 11 MAR 2004
EP    1403278 31 MAR 2004
JP 2004099560 02 APR 2004
WO 2004024934 25 MAR 2004

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.

=> s l1 sss full
FULL SEARCH INITIATED 11:45:32 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED -   4800 TO ITERATE

 81.6% PROCESSED    3918 ITERATIONS                           1 ANSWERS

 97.9% PROCESSED    4699 ITERATIONS                           3 ANSWERS

100.0% PROCESSED    4800 ITERATIONS                           3 ANSWERS
SEARCH TIME: 00.00.46

L3            3 SEA SSS FUL L1

=> file caold
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               109.84     265.47

FILE 'CAOLD' ENTERED AT 11:46:28 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:46:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10861 TO ITERATE

100.0% PROCESSED 10861 ITERATIONS 21 ANSWERS
SEARCH TIME: 00.00.02

L4 21 SEA SSS FUL L1

L5 0 L4

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	421.73

FILE 'CAPLUS' ENTERED AT 11:46:50 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18

FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 11:44:18 ON 28 APR 2004)

FILE 'REGISTRY' ENTERED AT 11:44:52 ON 28 APR 2004
L1 STRUCTURE UPLOADED
L2 21 S L1 SSS FULL

FILE 'MARPAT' ENTERED AT 11:45:27 ON 28 APR 2004
L3 3 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:46:28 ON 28 APR 2004
S L1

FILE 'REGISTRY' ENTERED AT 11:46:35 ON 28 APR 2004
L4 21 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 11:46:37 ON 28 APR 2004
L5 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:46:50 ON 28 APR 2004

=> s l2
L6 5 L2

=> s l3
L7 3 L3

=> d l6 fbib hitstr abs total

L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:143102 CAPLUS
DN 140:181325
TI Preparation of 3-imino-2-indolones as selective antagonists for GalR3 receptor for the treatment of depression and/or anxiety
IN Konkel, Michael; Wetzel, John M.; Talisman, Jamie
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004014854	A1	20040219	WO 2003-US24867	20030807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-215374 A 20020807

OS MARPAT 140:181325

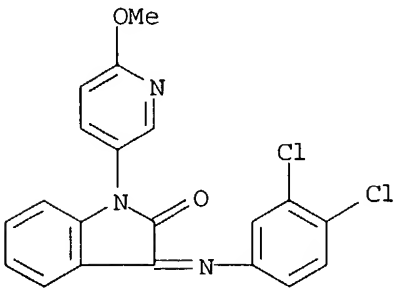
IT **659726-71-3P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

RN 659726-71-3 CAPLUS

CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



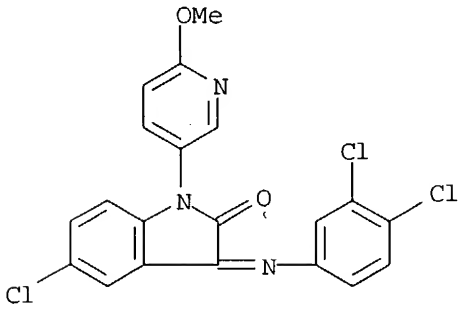
IT **659726-72-4P 659726-79-1P 659727-02-3P 659727-04-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of iminoindolones as antidepressants and anxiolytics with selectivity for GalR3 receptor)

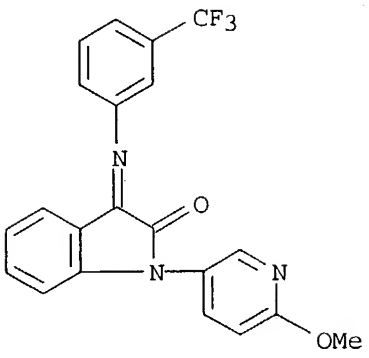
RN 659726-72-4 CAPLUS

CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

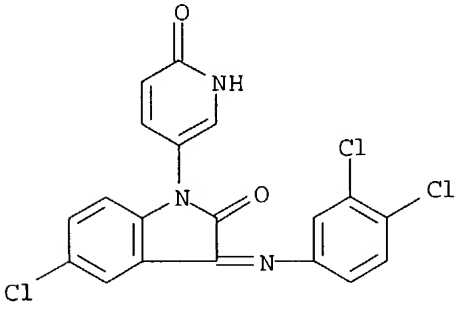


RN 659726-79-1 CAPLUS

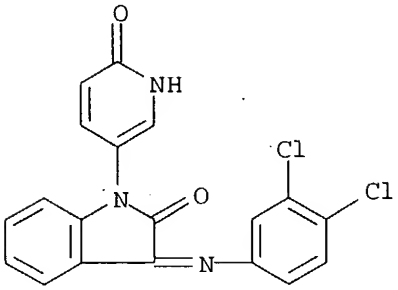
CN 2H-Indol-2-one, 1,3-dihydro-1-(6-methoxy-3-pyridinyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



RN 659727-02-3 CAPLUS
CN 2H-Indol-2-one, 5-chloro-3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 659727-04-5 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1-(1,6-dihydro-6-oxo-3-pyridinyl)-1,3-dihydro- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y1, Y2, Y3 and Y4 independently = H, alkyl, mono- or

poly-fluoroalkyl, halo, NO2, CN, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy group; R1 = H, alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, cycloalkyl, cycloalkenyl, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy or difluoromethylenedioxy group; R2 = H, F, Cl, or Me; Ar = (un)substituted pyridin-3-yl or hydroxyphenyl group] and their pharmaceutically acceptable salts are prepared and disclosed as selective antagonists for the GalR3 receptor. Thus, e.g., II was prepared by reaction of 5-chloroisatin with 3,4-dichloroaniline to form an intermediate iminoindole derivative which was coupled with 2-methoxypyridine-5-boronic acid. I were evaluated for their binding ability to the GalR3 receptor and possessed Ki values ranging from 15-72 nM. The invention provides a pharmaceutical composition comprising a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention also provides a pharmaceutical composition made by combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention also provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's depression and/or anxiety. This invention also provides a method of treating depression and/or anxiety in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GalR3 receptor antagonist.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:142959 CAPLUS
DN 140:193081
TI Pyrimidine and indolone derivative GAL3 receptor antagonists, and preparation thereof, for the treatment of affective disorders
IN Konkel, Michael; Blackburn, Thomas P.; Wetzel, John M.
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 427 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004014376	A1	20040219	WO 2003-US25133	20030807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

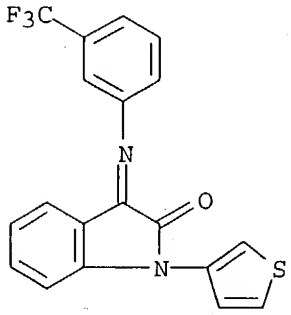
US 2002-215346 A 20020807

OS MARPAT 140:193081

IT **445453-46-3P 445454-93-3P 445454-95-5P**
445454-96-6P 445454-98-8P 445454-99-9P
445455-00-5P 445455-03-8P 445455-04-9P
445455-05-0P 445455-06-1P 445455-24-3P
445455-25-4P 445455-29-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445453-46-3 CAPLUS

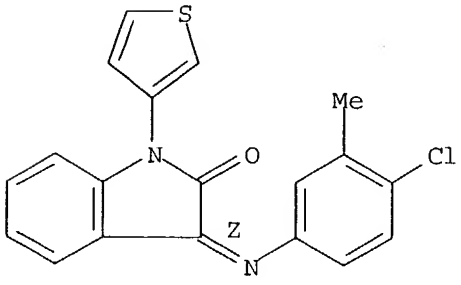
CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

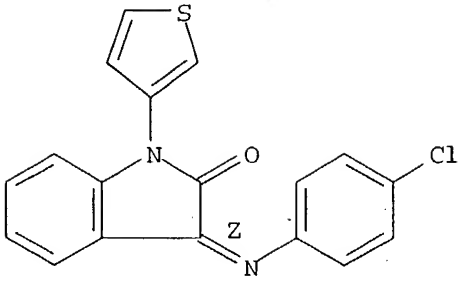
Double bond geometry as shown.



RN 445454-95-5 CAPLUS

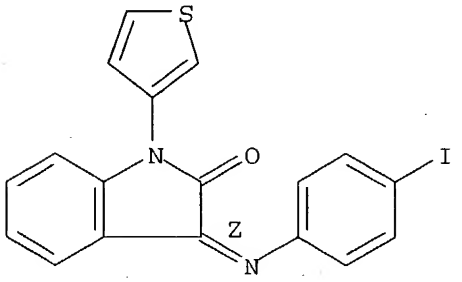
CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



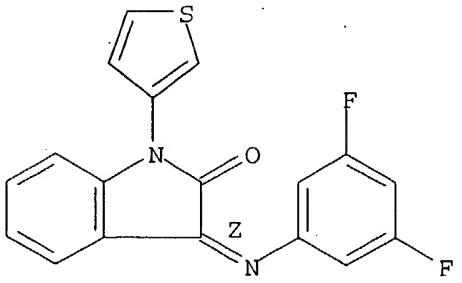
RN 445454-96-6 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



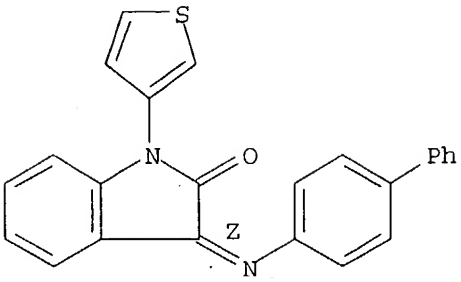
RN 445454-98-8 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



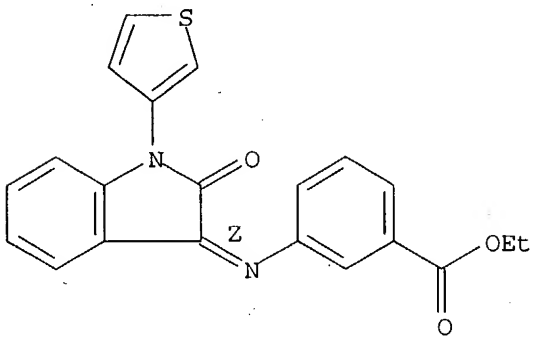
RN 445454-99-9 CAPLUS
CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



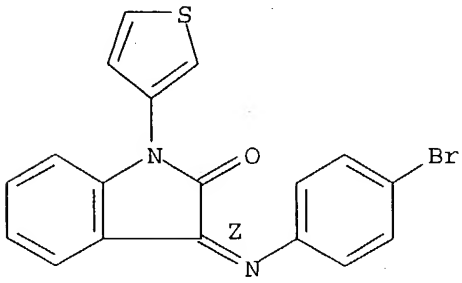
RN 445455-00-5 CAPLUS
CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



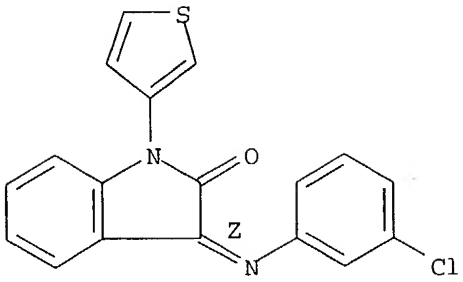
RN 445455-03-8 CAPLUS
CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



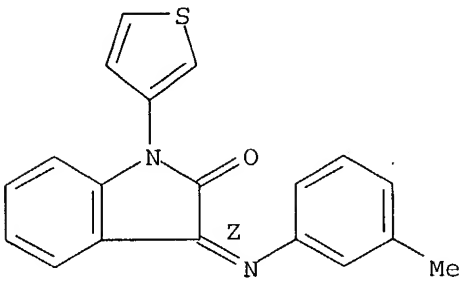
RN 445455-04-9 CAPLUS
CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



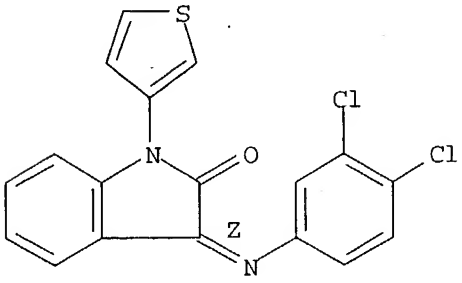
RN 445455-05-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



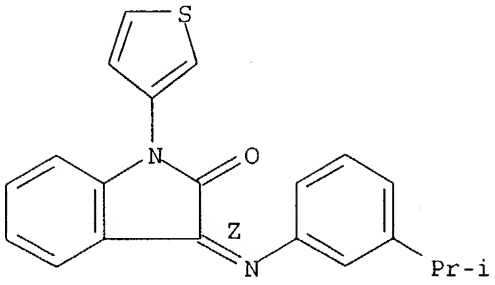
RN 445455-06-1 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



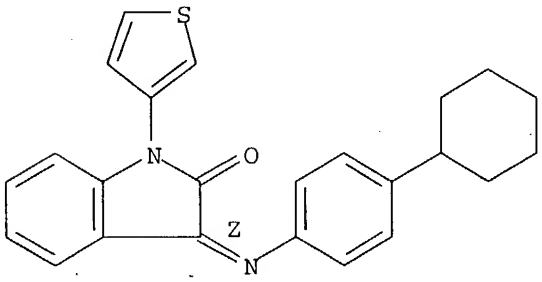
RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



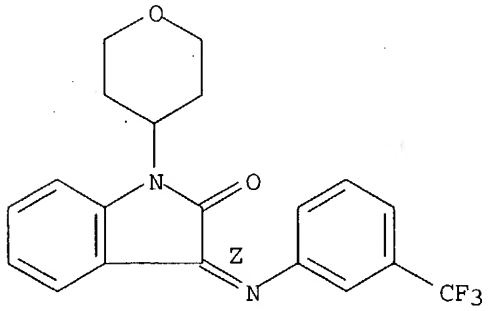
RN 445455-25-4 CAPLUS
CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



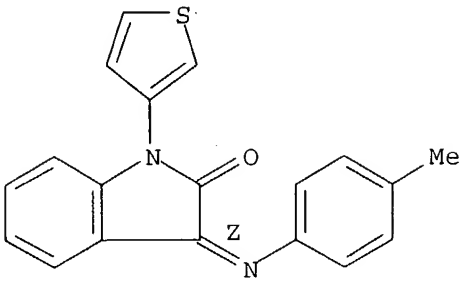
RN 445455-29-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



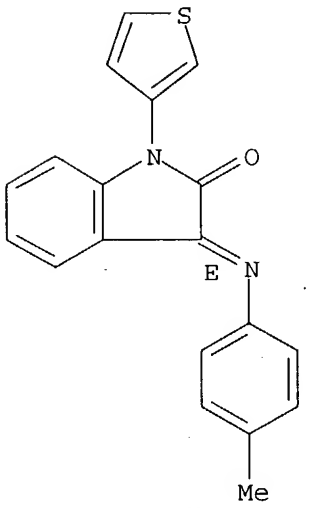
IT **445454-97-7P 445455-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)
RN 445454-97-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 445455-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



AB The invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor. The invention provides a method of treating a subject suffering from an affective disorder which comprises administering an amount of a compound of the invention effective to treat the subject's affective disorder. The invention also provides a method of treating an affective disorder in a subject which comprises administering a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. The invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of. a compound of the invention and a pharmaceutically acceptable carrier. Preparation of compds. of the invention is described.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:142904 CAPLUS
DN 140:193080

TI Pyrimidine and indolone derivative GAL3 antagonists for the treatment of neuropathic pain
IN Blackburn, Thomas
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 359 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

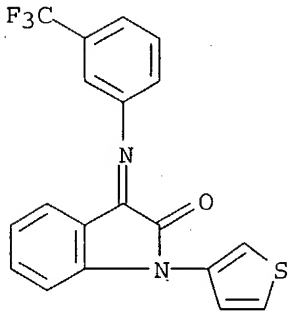
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004014307	A2	20040219	WO 2003-US24869	20030807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

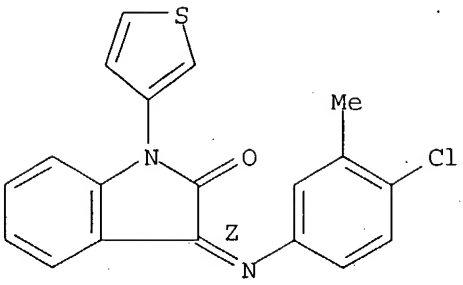
US 2002-215267 A 20020807

OS MARPAT 140:193080
IT **445453-46-3P 445454-93-3P 445454-95-5P**
445454-96-6P 445454-98-8P 445454-99-9P
445455-00-5P 445455-03-8P 445455-04-9P
445455-05-0P 445455-06-1P 445455-24-3P
445455-25-4P 445455-29-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)
RN 445453-46-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



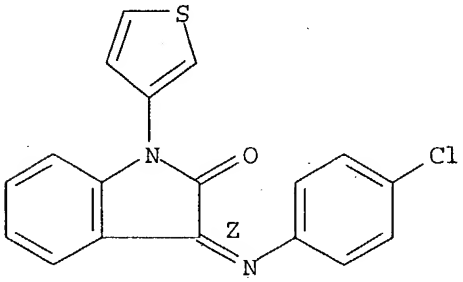
RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



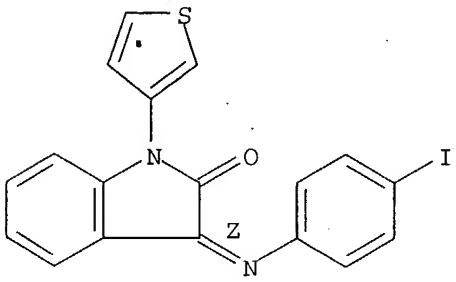
RN 445454-95-5 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



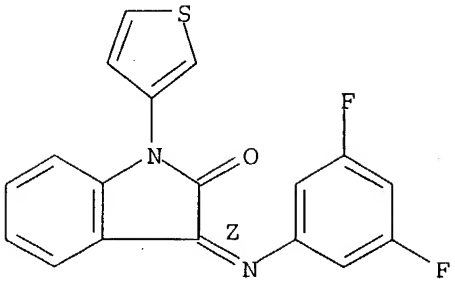
RN 445454-96-6 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



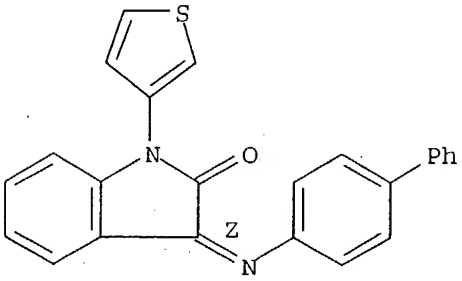
RN 445454-98-8 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



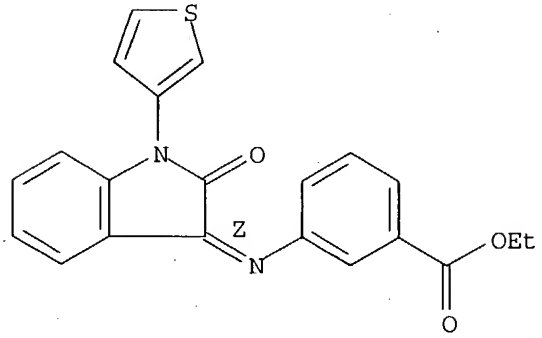
RN 445454-99-9 CAPLUS
CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



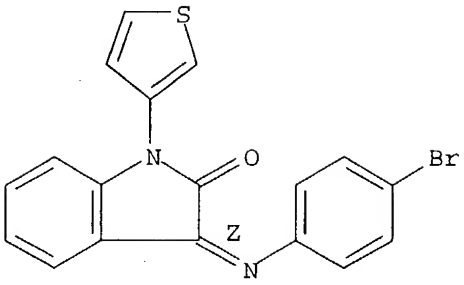
RN 445455-00-5 CAPLUS
CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



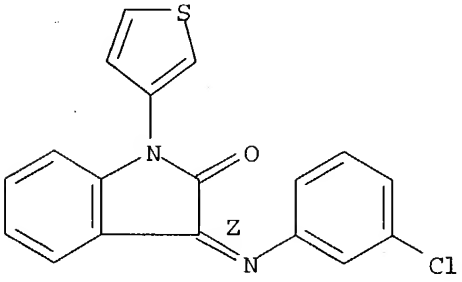
RN 445455-03-8 CAPLUS
CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



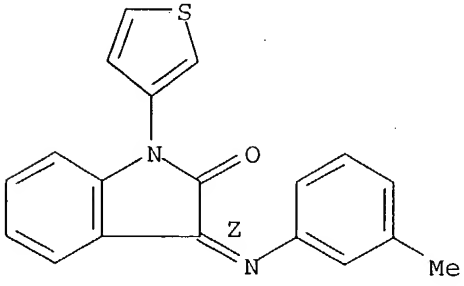
RN 445455-04-9 CAPLUS
CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



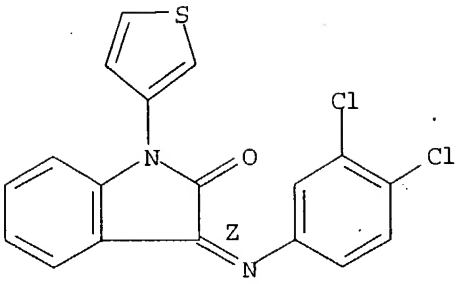
RN 445455-05-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



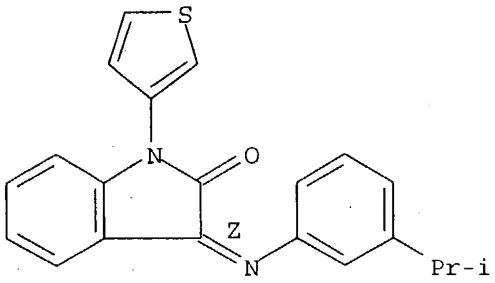
RN 445455-06-1 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



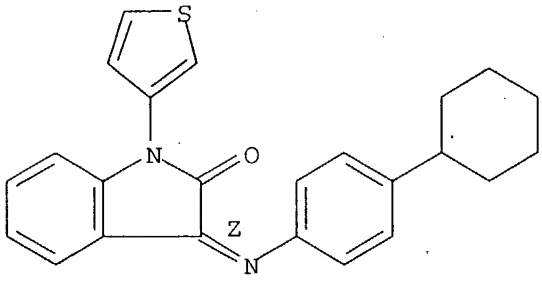
RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



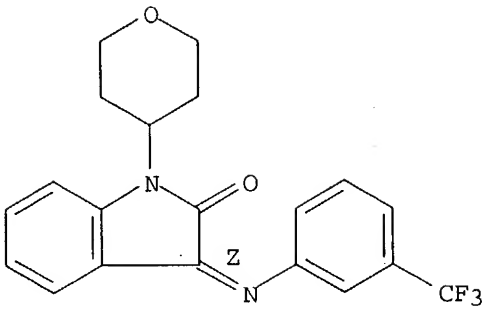
RN 445455-25-4 CAPLUS
CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



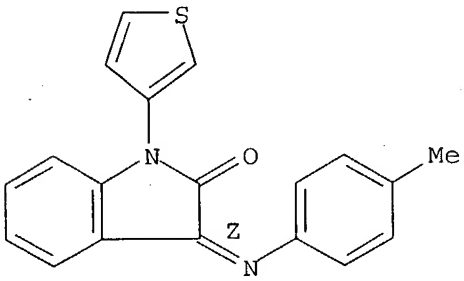
RN 445455-29-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



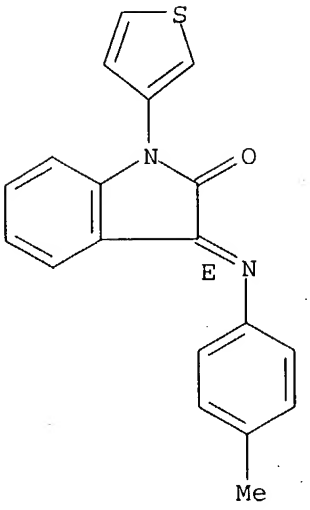
IT **445454-97-7P 445455-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)
RN 445454-97-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 445455-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



AB This invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor and are useful for the treatment of neuropathic pain and other abnormalities. The invention also provides a method of treating a subject suffering from an abnormality which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's abnormality. The invention also provides a method of treating an abnormality in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. Compound preparation is described.

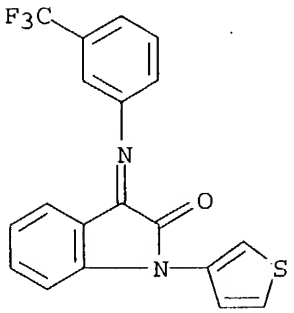
L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:319458 CAPLUS
DN 138:321291
TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo
PA USA
SO U.S. Pat. Appl. Publ., 265 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003078271	A1	20030424	US 2002-66175	20020131
				US 2001-265586PP	20010131

OS MARPAT 138:321291
IT **445453-46-3P 445454-93-3P 445454-95-5P**
445454-96-6P 445454-97-7P 445454-98-8P
445454-99-9P 445455-00-5P 445455-03-8P
445455-04-9P 445455-05-0P 445455-06-1P
445455-24-3P 445455-25-4P 445455-29-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

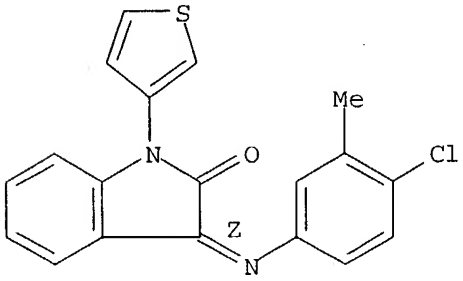
(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445453-46-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



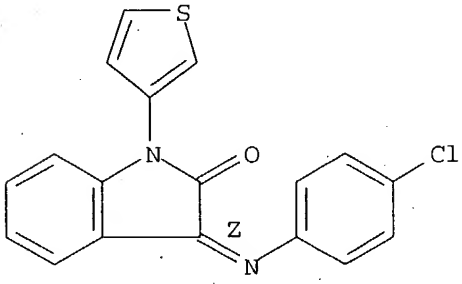
RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 445454-95-5 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

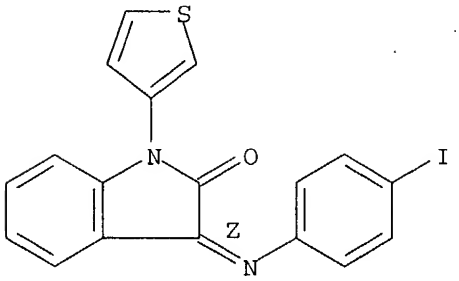
Double bond geometry as shown.



RN 445454-96-6 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-

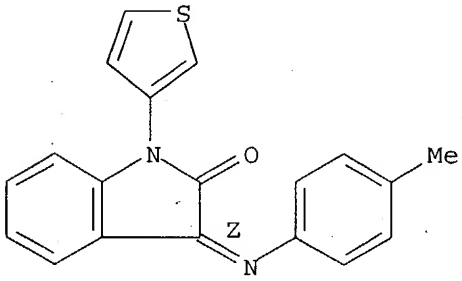
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



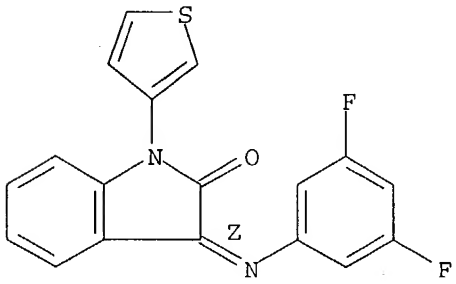
RN 445454-97-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



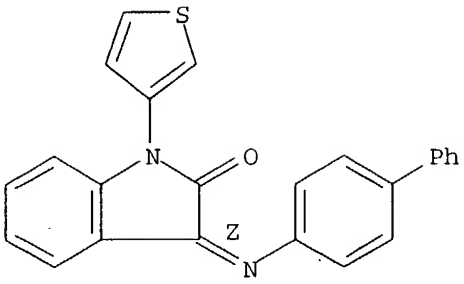
RN 445454-98-8 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



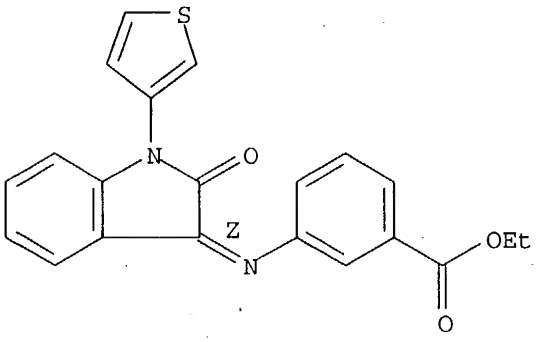
RN 445454-99-9 CAPLUS
CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



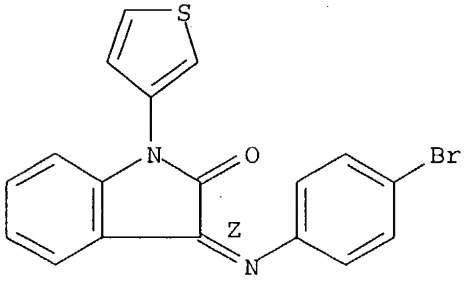
RN 445455-00-5 CAPLUS
CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



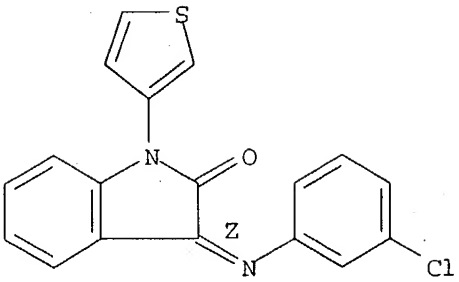
RN 445455-03-8 CAPLUS
CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



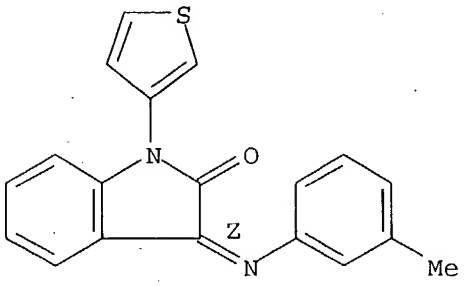
RN 445455-04-9 CAPLUS
CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



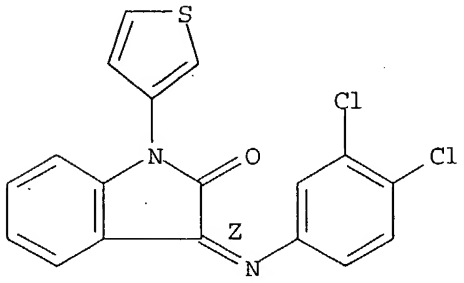
RN 445455-05-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



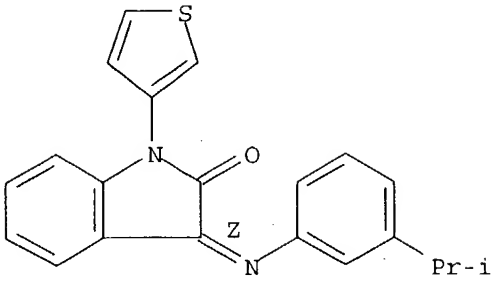
RN 445455-06-1 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



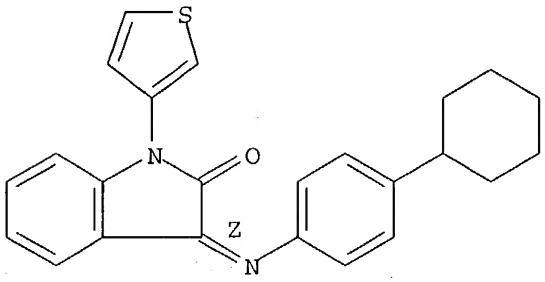
RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



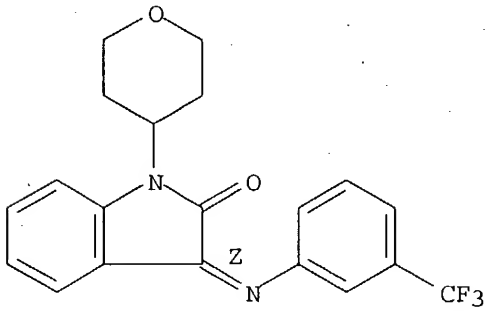
RN 445455-25-4 CAPLUS
CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



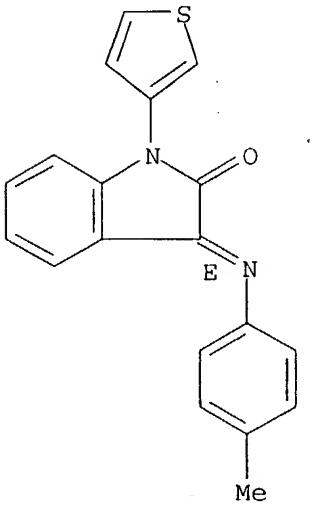
RN 445455-29-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

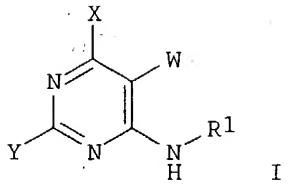


IT **445455-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)
RN 445455-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



GI



I

AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:594639 CAPLUS
DN 137:154941
TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
IN Blackburn, Thomas P.; Konkel, Michael
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 832 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002060392	A2	20020808	WO 2002-US4608	20020131
WO 2002060392	A3	20030925		

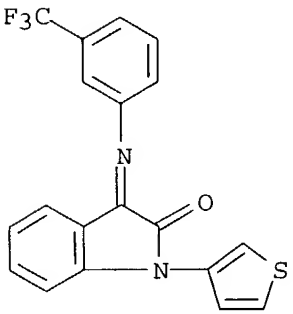
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2001-775341 A	20010131
EP 1363638	A2	20031126	EP 2002-714918	20020131

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

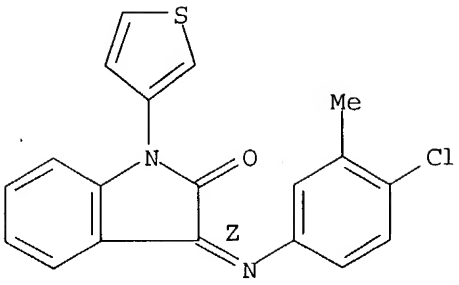
			US 2001-775341 A	20010131
			WO 2002-US4608 W	20020131
NO 2003003388	A	20030924	NO 2003-3388	20030729
			US 2001-775341 A	20010131
			WO 2002-US4608 W	20020131

OS MARPAT 137:154941
IT **445453-46-3P 445454-93-3P 445454-95-5P**
445454-96-6P 445454-97-7P 445454-98-8P
445454-99-9P 445455-00-5P 445455-03-8P
445455-04-9P 445455-05-0P 445455-06-1P
445455-24-3P 445455-25-4P 445455-29-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)
RN 445453-46-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



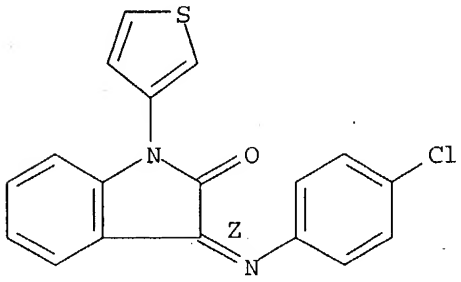
RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



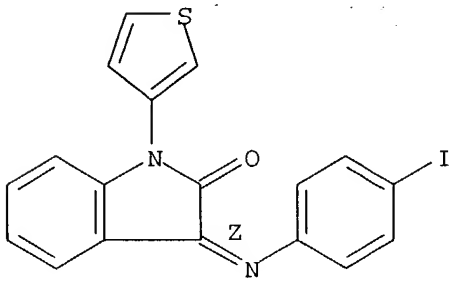
RN 445454-95-5 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



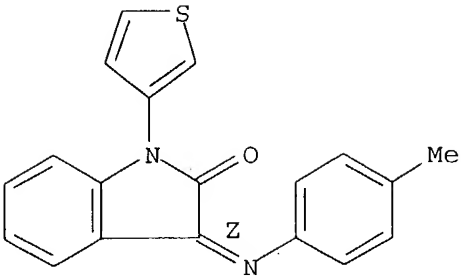
RN 445454-96-6 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



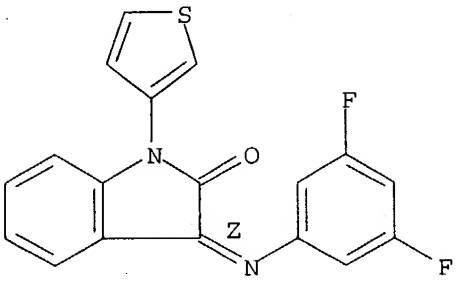
RN 445454-97-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



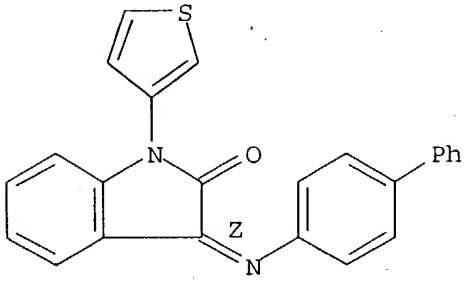
RN 445454-98-8 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



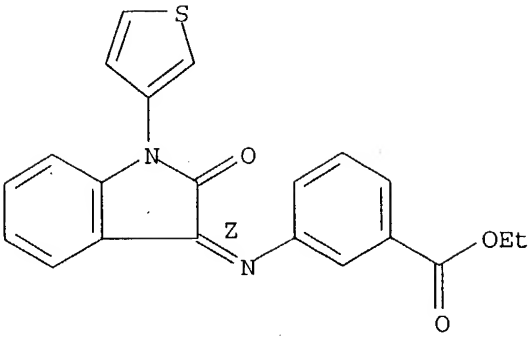
RN 445454-99-9 CAPLUS
CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



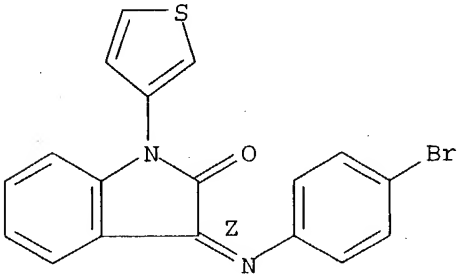
RN 445455-00-5 CAPLUS
CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



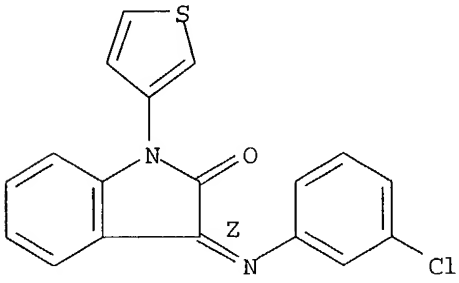
RN 445455-03-8 CAPLUS
CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



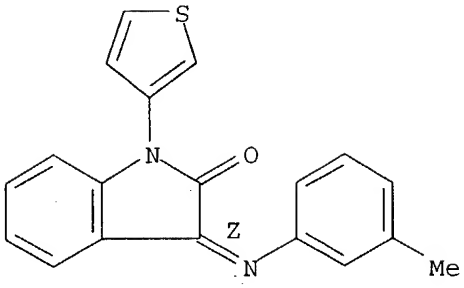
RN 445455-04-9 CAPLUS
CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



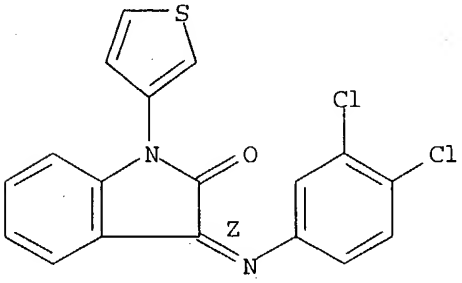
RN 445455-05-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



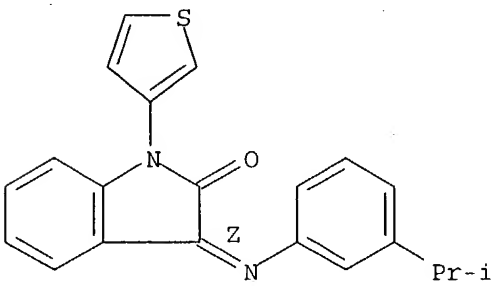
RN 445455-06-1 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



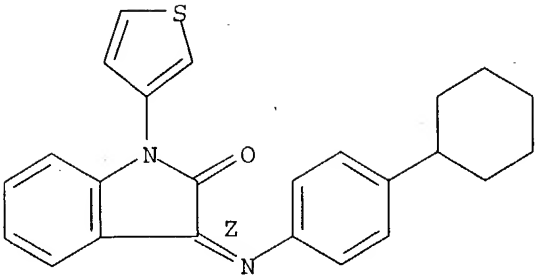
RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



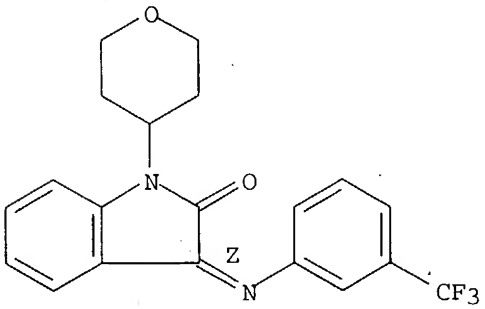
RN 445455-25-4 CAPLUS
CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



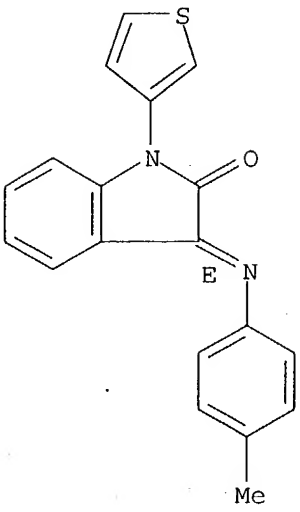
RN 445455-29-8 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-1-(tetrahydro-2H-pyran-4-yl)-3-[[3-(trifluoromethyl)phenyl]imino]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

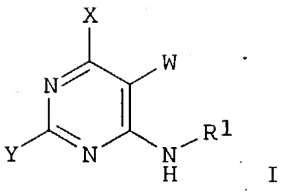


IT **445455-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)
RN 445455-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

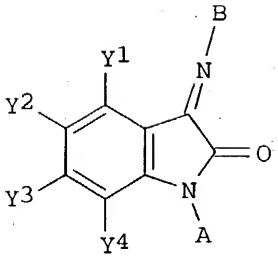
Double bond geometry as shown.



GI



I



II

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

=> d l7 fbib hitstr abs total

L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:143102 CAPLUS
DN **140:181325**
TI Preparation of 3-imino-2-indolones as selective antagonists for GalR3 receptor for the treatment of depression and/or anxiety

IN Konkel, Michael; Wetzel, John M.; Talisman, Jamie
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014854	A1	20040219	WO 2003-US24867	20030807

PI
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002-215374 A 20020807

OS MARPAT 140:181325
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y1, Y2, Y3 and Y4 independently = H, alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy group; R1 = H, alkyl, mono- or poly-fluoroalkyl, halo, NO2, CN, cycloalkyl, cycloalkenyl, etc., and any two of Y1, Y2, Y3 and Y4 present on adjacent carbons can constitute a methylenedioxy or difluoromethylenedioxy group; R2 = H, F, Cl, or Me; Ar = (un)substituted pyridin-3-yl or hydroxyphenyl group] and their pharmaceutically acceptable salts are prepared and disclosed as selective antagonists for the GalR3 receptor. Thus, e.g., II was prepared by reaction of 5-chloroisatin with 3,4-dichloroaniline to form an intermediate iminoindole derivative which was coupled with 2-methoxypyridine-5-boronic acid. I were evaluated for their binding ability to the GalR3 receptor and possessed Ki values ranging from 15-72 nM. The invention provides a pharmaceutical composition comprising a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention also provides a pharmaceutical composition made by combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of a compound of the invention and a pharmaceutically acceptable carrier. This invention also provides a method of treating a subject suffering from depression and/or anxiety which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's depression and/or anxiety. This invention also provides a method of treating depression and/or anxiety in a subject which comprises administering to the subject a composition comprising a pharmaceutically

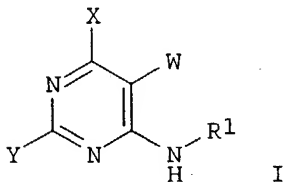
acceptable carrier and a therapeutically effective amount of a GalR3 receptor antagonist.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:319458 CAPLUS
DN **138:321291**
TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo
PA USA
SO U.S. Pat. Appl. Publ., 265 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003078271	A1	20030424	US 2002-66175	20020131
			US 2001-265586PP	20010131

OS MARPAT 138:321291
GI



I

AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:594639 CAPLUS
DN **137:154941**
TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
IN Blackburn, Thomas P.; Konkel, Michael
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 832 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060392	A2	20020808	WO 2002-US4608	20020131
	WO 2002060392	A3	20030925		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-775341 A 20010131

	EP 1363638	A2	20031126	EP 2002-714918	20020131

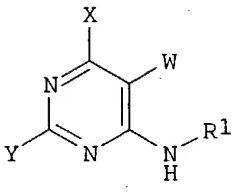
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2001-775341 A 20010131
WO 2002-US4608 W 20020131

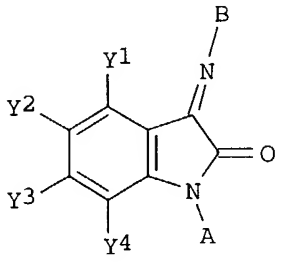
	NO 2003003388	A	20030924	NO 2003-3388	20030729

US 2001-775341 A 20010131
WO 2002-US4608 W 20020131

OS MARPAT 137:154941
GI



I



II

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	33.16	454.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.54	-5.54

STN INTERNATIONAL LOGOFF AT 11:49:15 ON 28 APR 2004

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 5	FEB 05	German (DE) application and patent publication number format changes
NEWS 6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS 7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS 8	MAR 03	FRANCEPAT now available on STN
NEWS 9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS 10	MAR 29	WPIFV now available on STN
NEWS 11	MAR 29	No connect hour charges in WPIFV until May 1, 2004
NEWS 12	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 13	APR 26	PROMT: New display field available
NEWS 14	APR 26	FIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS 15	APR 26	LITALERT now available on STN
NEWS 16	APR 27	NLDB: New search and display fields available

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:53:40 ON 28 APR 2004

=> file reg
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:53:49 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6
DICTIONARY FILE UPDATES: 26 APR 2004 HIGHEST RN 676992-14-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

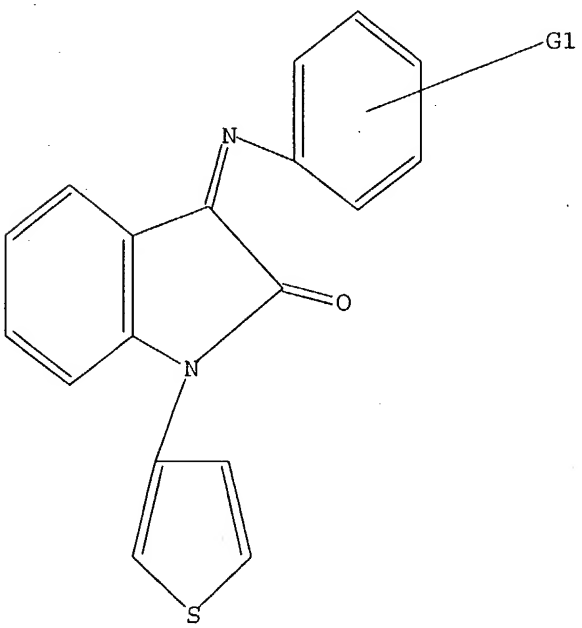
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10723961.6

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Ph,X,Cb,Ak,COOH

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sss full
FULL SEARCH INITIATED 11:54:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     28 TO ITERATE

100.0% PROCESSED      28 ITERATIONS                                15 ANSWERS
SEARCH TIME: 00.00.01

L2           15 SEA SSS FUL L1

=> file marpat
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   155.42     155.63

FILE 'MARPAT' ENTERED AT 11:54:39 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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COPYRIGHT (C) 2004 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 140 ISS 17)(20040423/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US     6709645 23 MAR 2004
DE    10335606 11 MAR 2004
EP     1403278 31 MAR 2004
JP 2004099560 02 APR 2004
WO 2004024934 25 MAR 2004

Structure search limits have been raised.  See HELP SLIMIT for the new,
higher limits.

=> s l1 sss full
FULL SEARCH INITIATED 11:54:44 FILE 'MARPAT'
SCREENING
FULL SCREEN SEARCH COMPLETED -   1859 TO ITERATE

100.0% PROCESSED    1859 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.39

L3            2 SEA SSS FUL L1

=> file caold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   109.84     265.47

FILE 'CAOLD' ENTERED AT 11:55:42 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966
```

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 11:55:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.01

L4 15 SEA SSS FUL L1

L5 0 L4

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	421.73

FILE 'CAPLUS' ENTERED AT 11:55:54 ON 28 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 28 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> d his

     (FILE 'HOME' ENTERED AT 11:53:40 ON 28 APR 2004)

     FILE 'REGISTRY' ENTERED AT 11:53:49 ON 28 APR 2004
L1             STRUCTURE UPLOADED
L2          15 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 11:54:39 ON 28 APR 2004
L3           2 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 11:55:42 ON 28 APR 2004
               S L1

     FILE 'REGISTRY' ENTERED AT 11:55:47 ON 28 APR 2004
L4          15 S L1 SSS FULL

     FILE 'CAOLD' ENTERED AT 11:55:48 ON 28 APR 2004
L5           0 S L4 SSS FULL

     FILE 'CAPLUS' ENTERED AT 11:55:54 ON 28 APR 2004

=> s l2
L6           4 L2

=> s l3
L7           2 L3

=> d l6 fbib hitstr abs total

L6  ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2004 ACS on STN
AN  2004:142959  CAPLUS
DN  140:193081
TI  Pyrimidine and indolone derivative GAL3 receptor antagonists, and
    preparation thereof, for the treatment of affective disorders
IN  Konkel, Michael; Blackburn, Thomas P.; Wetzel, John M.
PA  Synaptic Pharmaceutical Corporation, USA
SO  PCT Int. Appl., 427 pp.
    CODEN: PIXXD2
DT  Patent
LA  English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 2004014376      A1   20040219      WO 2003-US25133  20030807
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
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NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-215346 A 20020807

OS MARPAT 140:193081

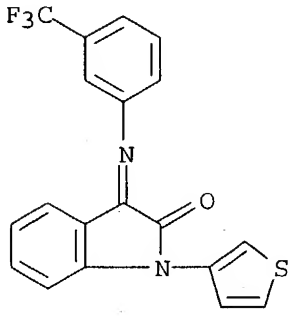
IT **445453-46-3P 445454-93-3P 445454-95-5P 445454-96-6P 445454-98-8P 445454-99-9P 445455-00-5P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-24-3P 445455-25-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445453-46-3 CAPLUS

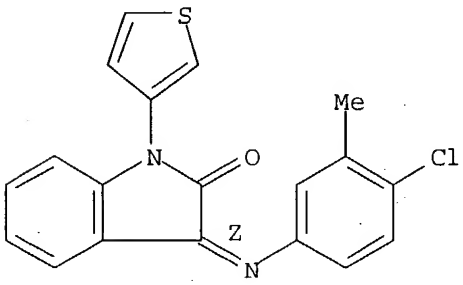
CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

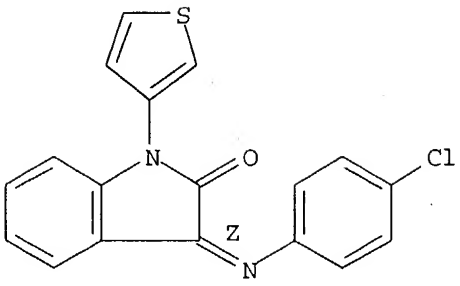
Double bond geometry as shown.



RN 445454-95-5 CAPLUS

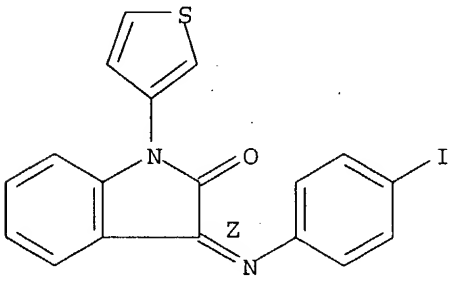
CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



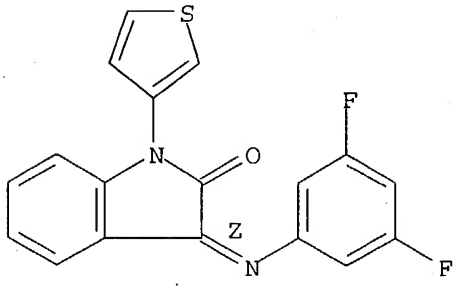
RN 445454-96-6 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



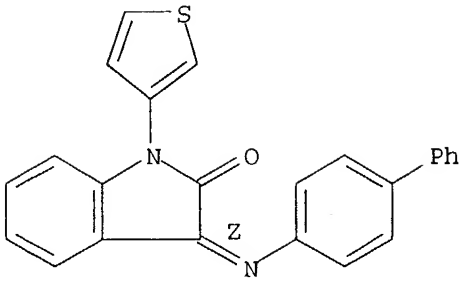
RN 445454-98-8 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 445454-99-9 CAPLUS
CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 445455-00-5 CAPLUS
CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

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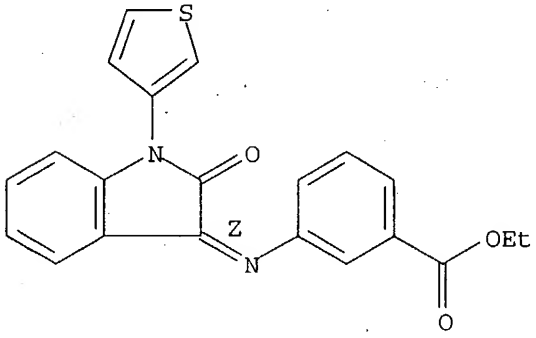
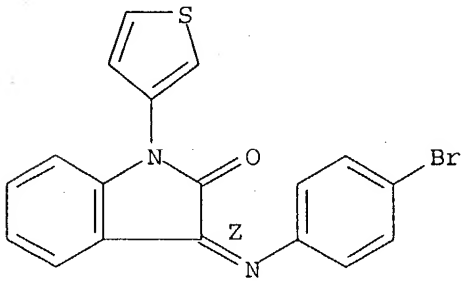


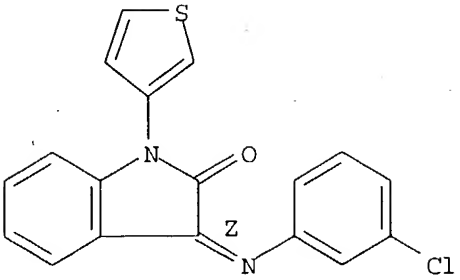
RN 445455-03-8 CAPLUS
CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



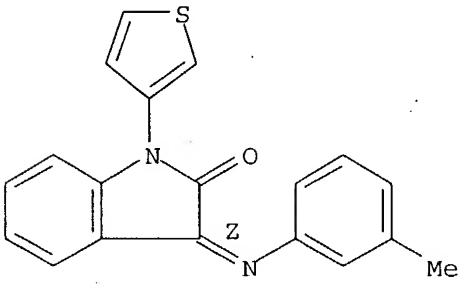
RN 445455-04-9 CAPLUS
CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



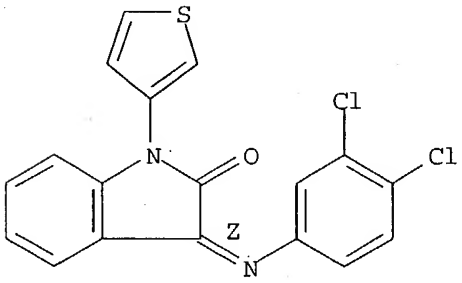
RN 445455-05-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



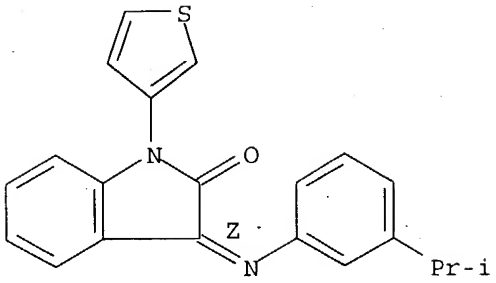
RN 445455-06-1 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



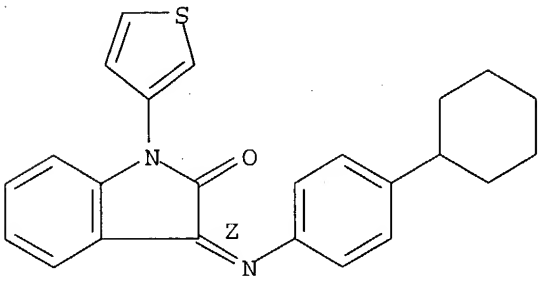
RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



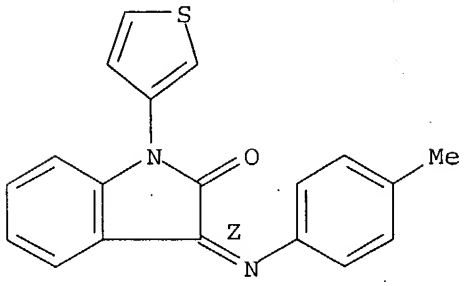
RN 445455-25-4 CAPLUS
CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



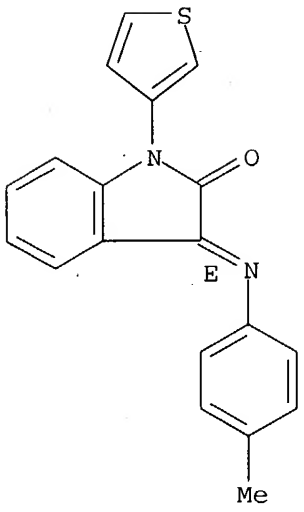
IT **445454-97-7P 445455-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)
RN 445454-97-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 445455-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



AB The invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor. The invention provides a method of treating a subject suffering from an affective disorder which comprises administering an amount of a compound of the invention effective to treat the subject's affective disorder. The invention also provides a method of treating an affective disorder in a subject which comprises administering a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. The invention further provides a process for making a pharmaceutical composition comprising combining a therapeutically effective amount of. a compound of the invention and a pharmaceutically acceptable carrier. Preparation of compds. of the invention is described.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:142904 CAPLUS
DN 140:193080
TI Pyrimidine and indolone derivative GAL3 antagonists for the treatment of neuropathic pain
IN Blackburn, Thomas
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 359 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004014307	A2	20040219	WO 2003-US24869	20030807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-215267 A 20020807

OS MARPAT 140:193080

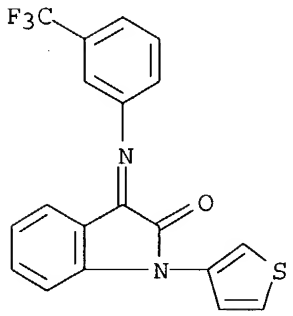
IT **445453-46-3P 445454-93-3P 445454-95-5P 445454-96-6P 445454-98-8P 445454-99-9P 445455-00-5P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-24-3P 445455-25-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)

RN 445453-46-3 CAPLUS

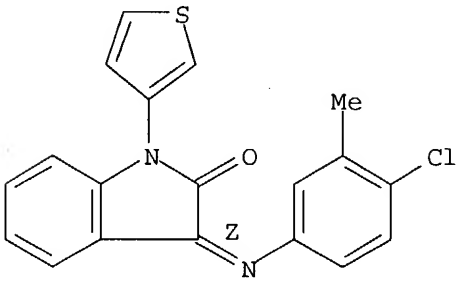
CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



RN 445454-93-3 CAPLUS

CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

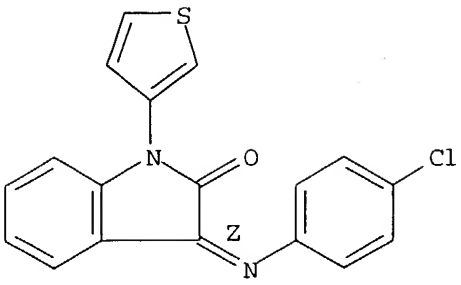
Double bond geometry as shown.



RN 445454-95-5 CAPLUS

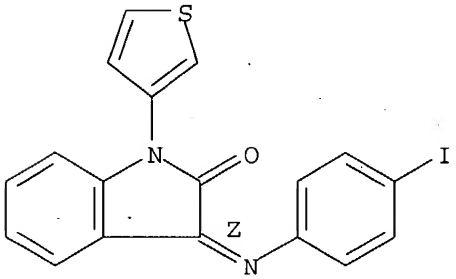
CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



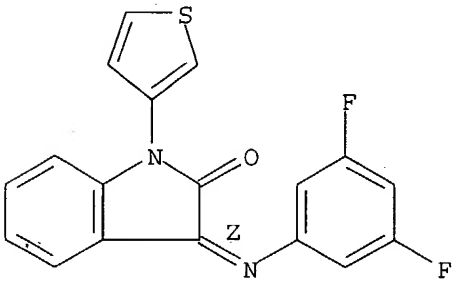
RN 445454-96-6 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



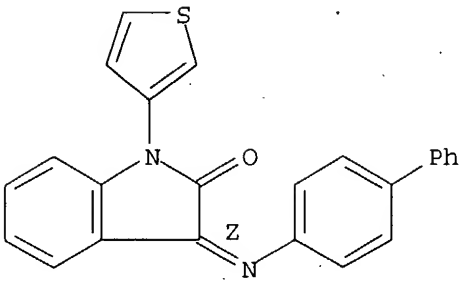
RN 445454-98-8 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-,
(3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



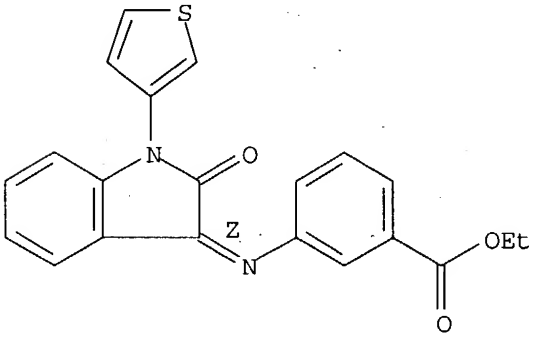
RN 445454-99-9 CAPLUS
CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-,
(3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



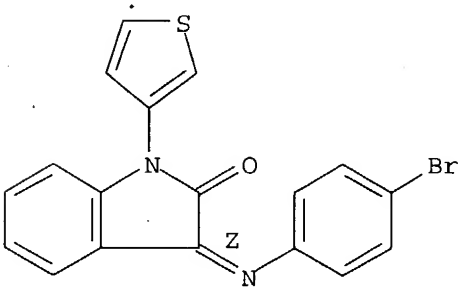
RN 445455-00-5 CAPLUS
CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



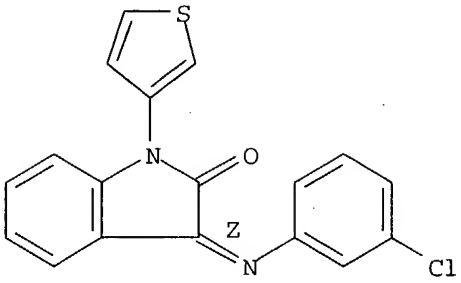
RN 445455-03-8 CAPLUS
CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



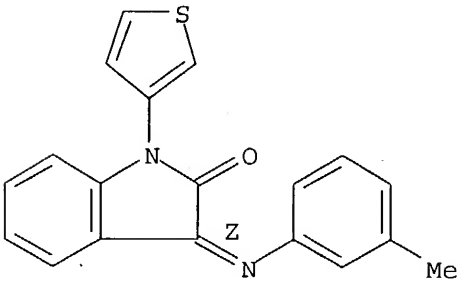
RN 445455-04-9 CAPLUS
CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



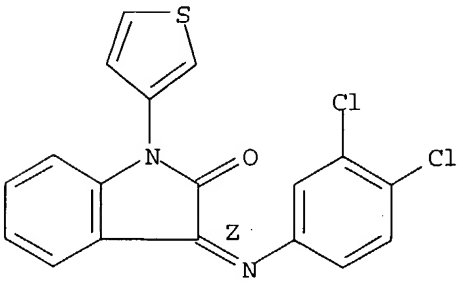
RN 445455-05-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



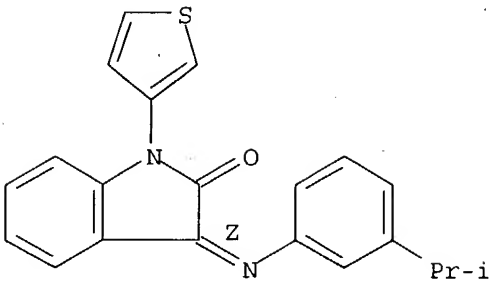
RN 445455-06-1 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



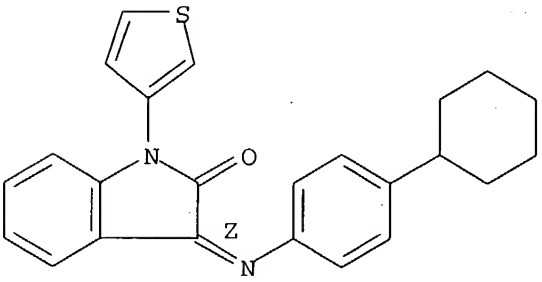
RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



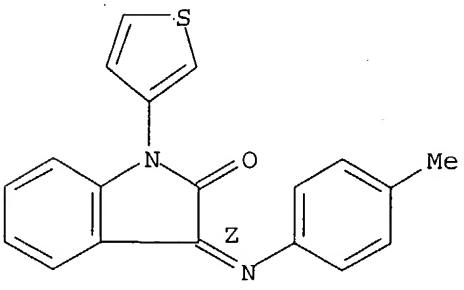
RN 445455-25-4 CAPLUS
CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



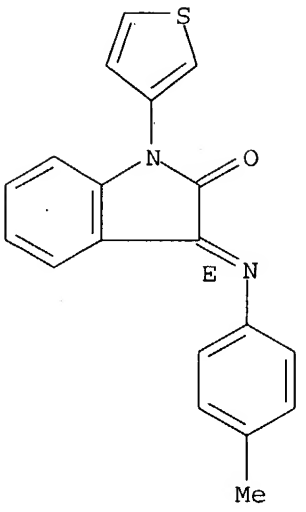
IT **445454-97-7P 445455-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrimidine and indolone derivative GAL3 antagonists for treatment of neuropathic pain)
RN 445454-97-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 445455-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



AB This invention discloses pyrimidine and indolone derivs. which are selective antagonists for the GAL3 receptor and are useful for the treatment of neuropathic pain and other abnormalities. The invention also provides a method of treating a subject suffering from an abnormality which comprises administering to the subject an amount of a compound of the invention effective to treat the subject's abnormality. The invention also provides a method of treating an abnormality in a subject which comprises administering to the subject a composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a GAL3 receptor antagonist. Compound preparation is described.

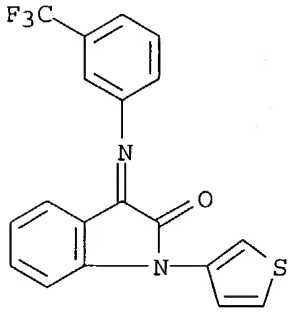
L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:319458 CAPLUS
DN 138:321291
TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo
PA USA
SO U.S. Pat. Appl. Publ., 265 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003078271	A1	20030424	US 2002-66175	20020131
			US 2001-265586PP	20010131

OS MARPAT 138:321291
IT **445453-46-3P 445454-93-3P 445454-95-5P 445454-96-6P 445454-97-7P 445454-98-8P 445454-99-9P 445455-00-5P 445455-03-8P 445455-04-9P 445455-05-0P 445455-06-1P 445455-24-3P 445455-25-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

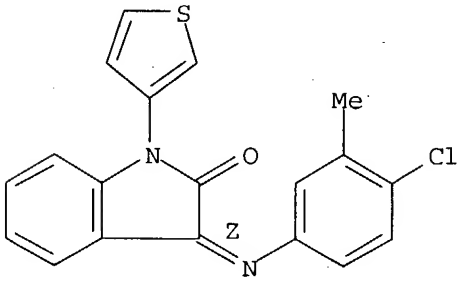
(Uses)
(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445453-46-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



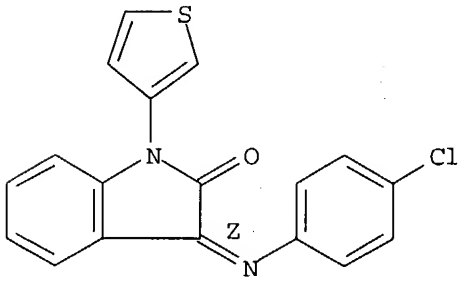
RN 445454-93-3 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 445454-95-5 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

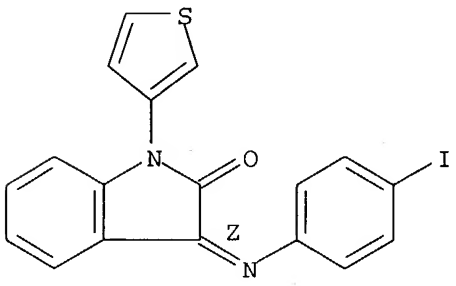
Double bond geometry as shown.



RN 445454-96-6 CAPLUS

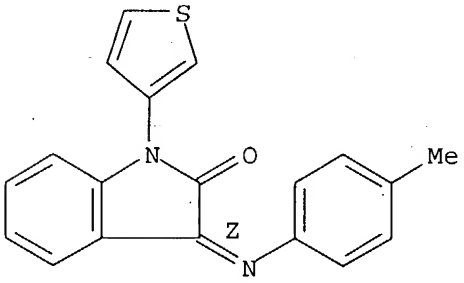
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)-
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



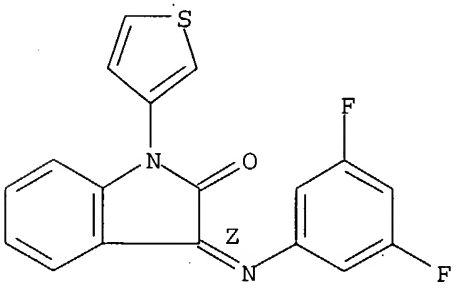
RN 445454-97-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-,
(3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



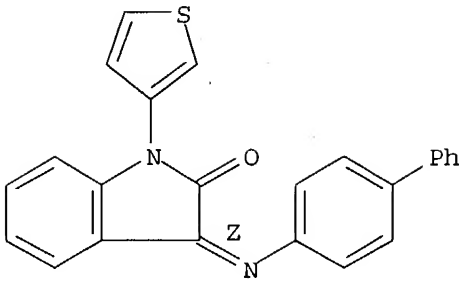
RN 445454-98-8 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-,
(3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



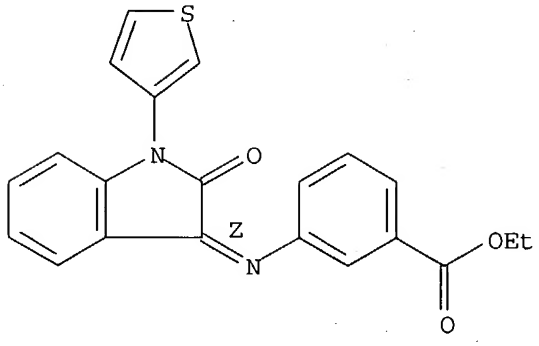
RN 445454-99-9 CAPLUS
CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-,
(3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



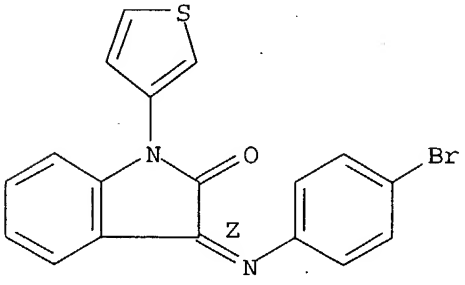
RN 445455-00-5 CAPLUS
CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



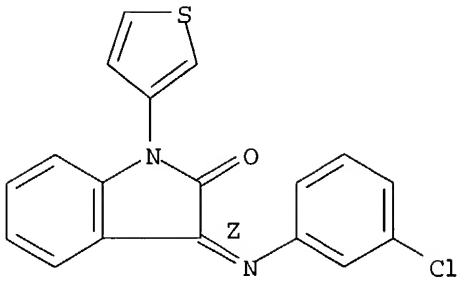
RN 445455-03-8 CAPLUS
CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



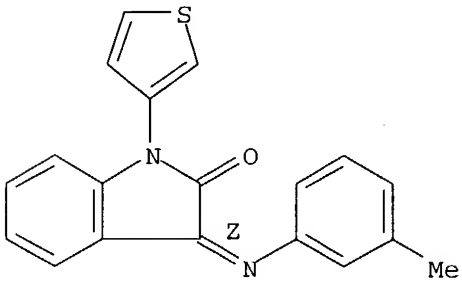
RN 445455-04-9 CAPLUS
CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



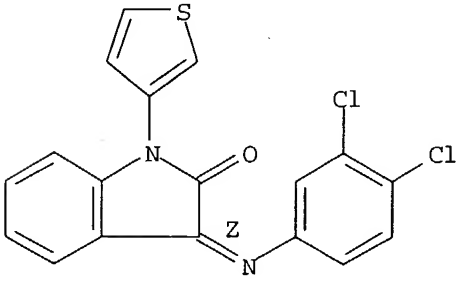
RN 445455-05-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



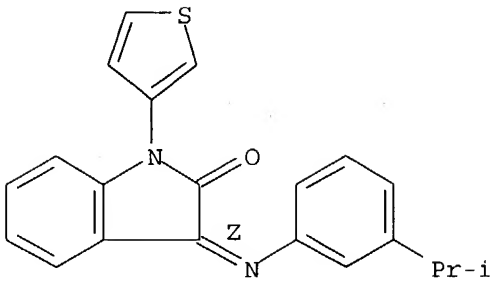
RN 445455-06-1 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



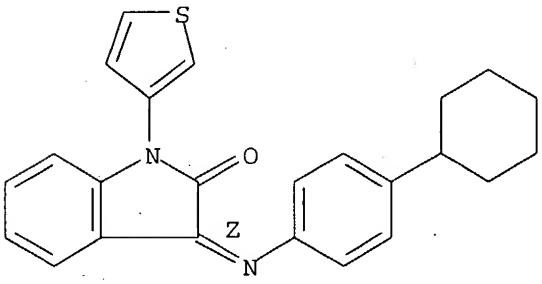
RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



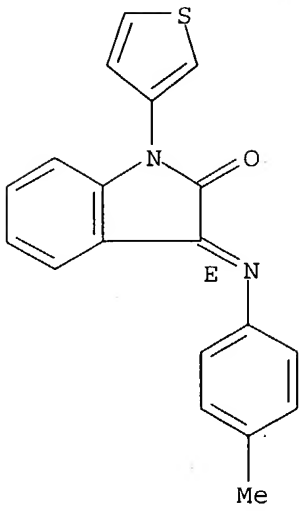
RN 445455-25-4 CAPLUS
CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

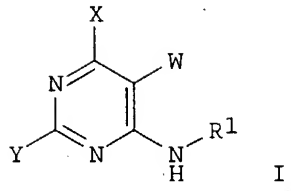


IT **445455-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)
RN 445455-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



GI



I

AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared. Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:594639 CAPLUS
DN 137:154941
TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
IN Blackburn, Thomas P.; Konkel, Michael
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 832 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060392	A2	20020808	WO 2002-US4608	20020131
	WO 2002060392	A3	20030925		
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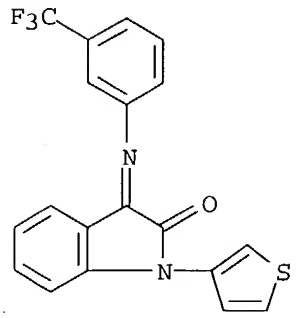
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-775341 A	20010131
	EP 1363638	A2	20031126	EP 2002-714918	20020131
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2001-775341 A	20010131
				WO 2002-US4608 W	20020131
	NO 2003003388	A	20030924	NO 2003-3388	20030729
				US 2001-775341 A	20010131
				WO 2002-US4608 W	20020131

OS MARPAT 137:154941

IT **445453-46-3P 445454-93-3P 445454-95-5P**
445454-96-6P 445454-97-7P 445454-98-8P
445454-99-9P 445455-00-5P 445455-03-8P
445455-04-9P 445455-05-0P 445455-06-1P
445455-24-3P 445455-25-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445453-46-3 CAPLUS

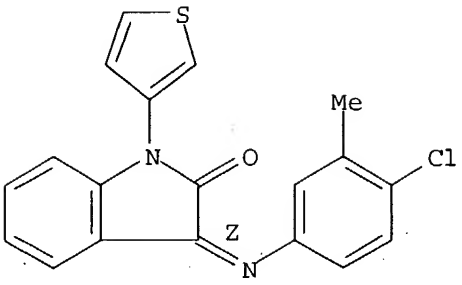
CN 2H-Indol-2-one, 1,3-dihydro-1-(3-thienyl)-3-[[3-(trifluoromethyl)phenyl]imino]- (9CI) (CA INDEX NAME)



RN 445454-93-3 CAPLUS

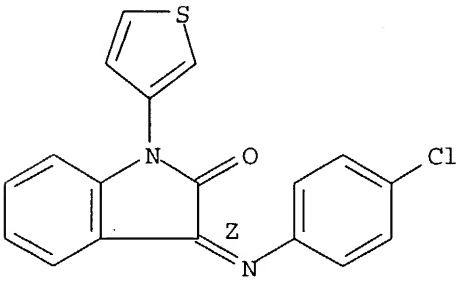
CN 2H-Indol-2-one, 3-[(4-chloro-3-methylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



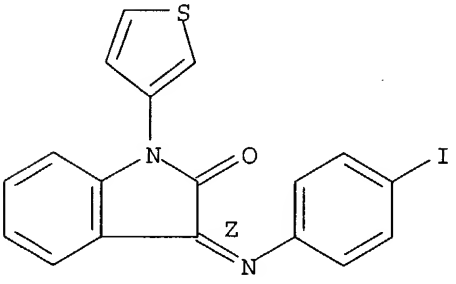
RN 445454-95-5 CAPLUS
CN 2H-Indol-2-one, 3-[(4-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



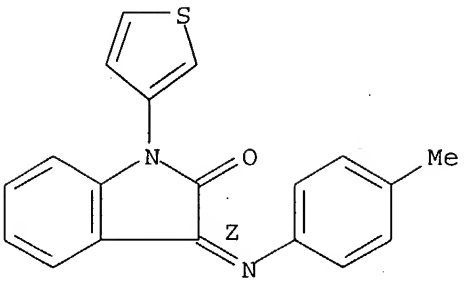
RN 445454-96-6 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-iodophenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



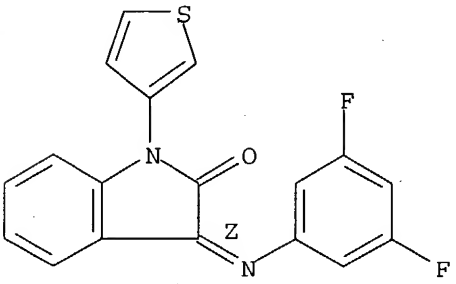
RN 445454-97-7 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



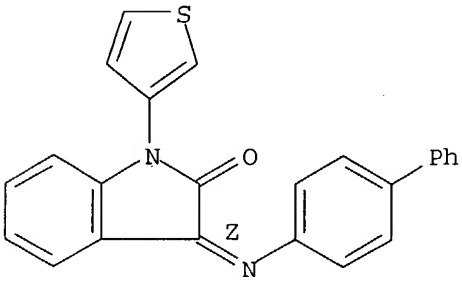
RN 445454-98-8 CAPLUS
CN 2H-Indol-2-one, 3-[(3,5-difluorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



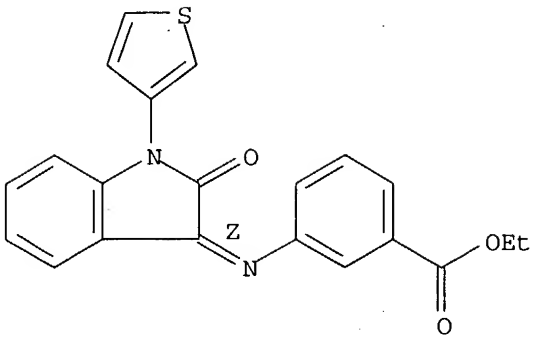
RN 445454-99-9 CAPLUS
CN 2H-Indol-2-one, 3-([1,1'-biphenyl]-4-ylimino)-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



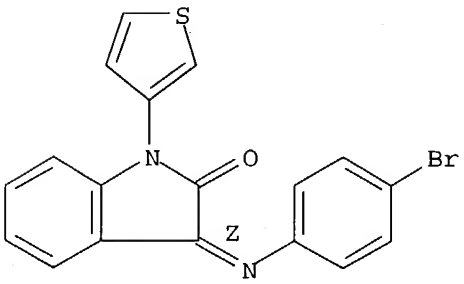
RN 445455-00-5 CAPLUS
CN Benzoic acid, 3-[(Z)-[1,2-dihydro-2-oxo-1-(3-thienyl)-3H-indol-3-ylidene]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



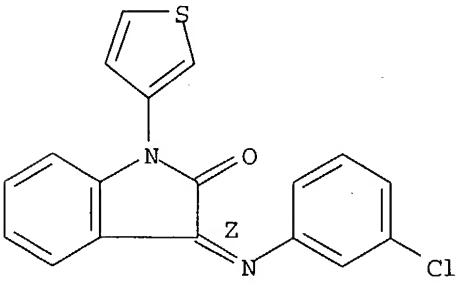
RN 445455-03-8 CAPLUS
CN 2H-Indol-2-one, 3-[(4-bromophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



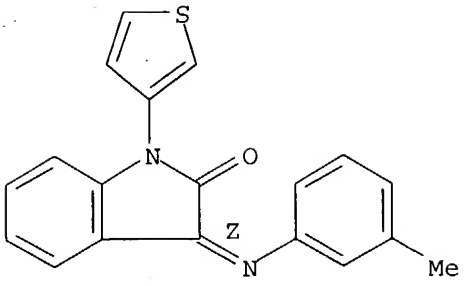
RN 445455-04-9 CAPLUS
CN 2H-Indol-2-one, 3-[(3-chlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



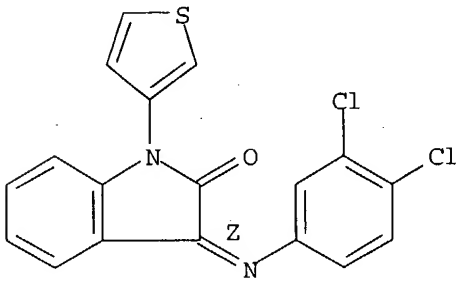
RN 445455-05-0 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(3-methylphenyl)imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



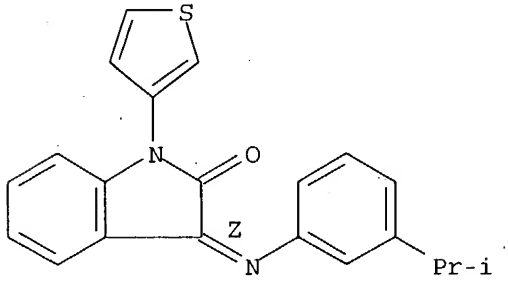
RN 445455-06-1 CAPLUS
CN 2H-Indol-2-one, 3-[(3,4-dichlorophenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



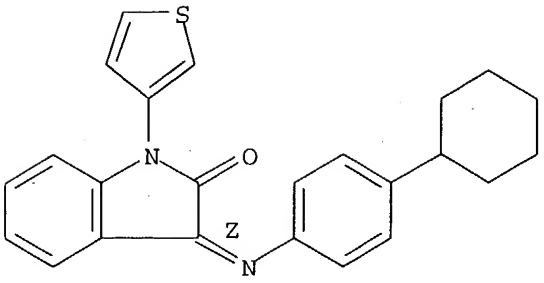
RN 445455-24-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[[3-(1-methylethyl)phenyl]imino]-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



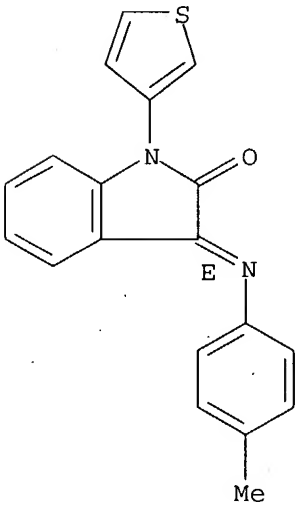
RN 445455-25-4 CAPLUS
CN 2H-Indol-2-one, 3-[(4-cyclohexylphenyl)imino]-1,3-dihydro-1-(3-thienyl)-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **445455-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)
RN 445455-58-3 CAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-3-[(4-methylphenyl)imino]-1-(3-thienyl)-, (3E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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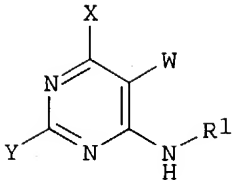

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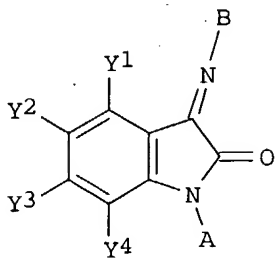

II

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

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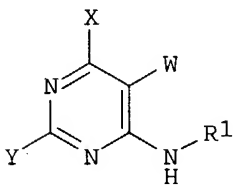
L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:319458 CAPLUS
DN **138:321291**
TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
IN Blackburn, Thomas P.; Konkel, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzel, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo
PA USA
SO U.S. Pat. Appl. Publ., 265 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003078271	A1	20030424	US 2002-66175	20020131
			US 2001-265586PP	20010131

OS MARPAT 138:321291
GI



I

AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepared Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compound I [W = H; X = piperidino; Y =

N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:594639 CAPLUS
DN **137:154941**
TI Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
IN Blackburn, Thomas P.; Konkel, Michael
PA Synaptic Pharmaceutical Corporation, USA
SO PCT Int. Appl., 832 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002060392	A2	20020808	WO 2002-US4608	20020131
	WO 2002060392	A3	20030925		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-775341 A	20010131
	EP 1363638	A2	20031126	EP 2002-714918	20020131
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				US 2001-775341 A	20010131
				WO 2002-US4608 W	20020131
	NO 2003003388	A	20030924	NO 2003-3388	20030729
				US 2001-775341 A	20010131
				WO 2002-US4608 W	20020131

OS MARPAT 137:154941
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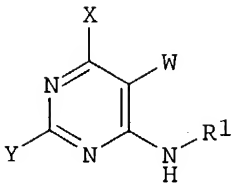

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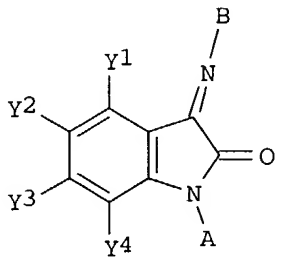

II

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl,

etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepared Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compound I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	24.98	446.71

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.16	-4.16

STN INTERNATIONAL LOGOFF AT 11:56:53 ON 28 APR 2004